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#### ABSTRACT OF THE DISCLOSURE

Polysaccharides, which are widely used as an anticoagulation drugs, especially heparin, are clinically administered only by intravenous or subcutaneous injection because of their strong hydrophilicity and high negative charge. Amphiphilic heparin derivatives were synthesized by 5 conjugate to bile acids, sterols, and alkanoic acids, respectively. The hydrophobicity of the heparin derivatives depended on the feed mole ratio of heparin to hydrophobic agent. The heparin derivatives were slightly hydrophobic and exhibited good solubility in a water-acetone solvent, as well as water. The heparin derivatives have a high anticoagulant activity. These slightly hydrophobic heparin derivatives can be absorbed in gastric intestinal tract and can be 10 used as oral dosage form. Also, the heparin derivatives can be used for the surface modification to prevent anticoagulation for medical devices such as extracorporeal devices and implanted devices.